10796673 Page 1

Welcome to STN International! Enter x:x LOGINID:ssspta1611sxp PASSWORD: TERMINAL (ENTER 1, 2, 3, OR ?):2 Welcome to STN International Web Page URLs for STN Seminar Schedule - N. America NEWS "Ask CAS" for self-help around the clock NEWS EXTEND option available in structure searching NEWS May 12 Polymer links for the POLYLINK command completed in REGISTRY May 12 NEWS New UPM (Update Code Maximum) field for more efficient patent May 27 NEWS 5 SDIs in CAplus NEWS May 27 CAplus super roles and document types searchable in REGISTRY Additional enzyme-catalyzed reactions added to CASREACT NEWS Jun 28 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, NEWS Jun 28 8 and WATER from CSA now available on STN(R) Jul 12 BEILSTEIN enhanced with new display and select options, NEWS 9 resulting in a closer connection to BABS BEILSTEIN on STN workshop to be held August 24 in conjunction Jul 30 NEWS 10 with the 228th ACS National Meeting IFIPAT/IFIUDB/IFICDB reloaded with new search and display NEWS 11 AUG 02 fields CAplus and CA patent records enhanced with European and Japan NEWS 12 AUG 02 Patent Office Classifications STN User Update to be held August 22 in conjunction with the NEWS 13 AUG 02 228th ACS National Meeting The Analysis Edition of STN Express with Discover! AUG 02 NEWS 14 (Version 7.01 for Windows) now available AUG 04 Pricing for the Save Answers for SciFinder Wizard within NEWS 15 STN Express with Discover! will change September 1, 2004 NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004 STN Operating Hours Plus Help Desk Availability NEWS HOURS General Internet Information NEWS INTER Welcome Banner and News Items NEWS LOGIN NEWS PHONE Direct Dial and Telecommunication Network Access to STN CAS World Wide Web Site (general information) NEWS WWW Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 10:01:34 ON 12 AUG 2004

10796673 Page 2

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:01:51 ON 12 AUG 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9 DICTIONARY FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

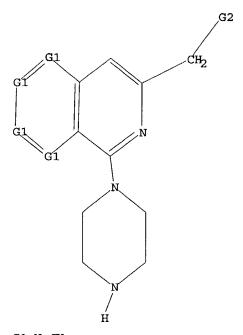
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\10796673.str

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

10796673 Page 3



G1 N,CH G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full FULL SEARCH INITIATED 10:02:15 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 3737 TO ITERATE

100.0% PROCESSED 3737 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 155.42 155.63

STN INTERNATIONAL LOGOFF AT 10:02:37 ON 12 AUG 2004

10796673.1 Page 1

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

NEWS WWW

TERMINAL (ENTER 1, 2, 3, OR ?):2

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Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
NEWS
                 "Ask CAS" for self-help around the clock
                 EXTEND option available in structure searching
NEWS
        May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
NEWS
        May 12
                New UPM (Update Code Maximum) field for more efficient patent
NEWS
        May 27
                 SDIs in CAplus
NEWS
        May 27
                 CAplus super roles and document types searchable in REGISTRY
NEWS
         Jun 28
                 Additional enzyme-catalyzed reactions added to CASREACT
                ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
NEWS
        Jun 28
                 and WATER from CSA now available on STN(R)
                 BEILSTEIN enhanced with new display and select options,
NEWS
        Jul 12
                 resulting in a closer connection to BABS
                 BEILSTEIN on STN workshop to be held August 24 in conjunction
NEWS 10
        Jul 30
                 with the 228th ACS National Meeting
                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
        AUG 02
NEWS 11
                 fields
        AUG 02
                 CAplus and CA patent records enhanced with European and Japan
NEWS 12
                 Patent Office Classifications
                 STN User Update to be held August 22 in conjunction with the
        AUG 02
NEWS 13
                 228th ACS National Meeting
                The Analysis Edition of STN Express with Discover!
        AUG 02
NEWS 14
                 (Version 7.01 for Windows) now available
                 Pricing for the Save Answers for SciFinder Wizard within
        AUG 04
NEWS 15
                 STN Express with Discover! will change September 1, 2004
              JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS INTER
              General Internet Information
NEWS LOGIN
              Welcome Banner and News Items
NEWS PHONE
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CAS World Wide Web Site (general information)

FILE 'HOME' ENTERED AT 10:04:41 ON 12 AUG 2004

10796673.1 Page 2

=> file req COST IN U.S. DOLLARS

ENTRY SESSION

TOTAL

FULL ESTIMATED COST

0.21 0.21

SINCE FILE

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11 AUG 2004 HIGHEST RN 725685-10-9 STRUCTURE FILE UPDATES: 11 AUG 2004 HIGHEST RN 725685-10-9 DICTIONARY FILE UPDATES:

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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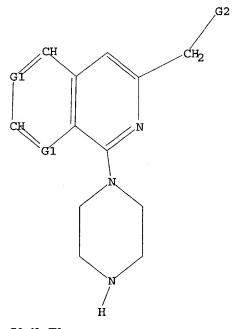
Uploading c:\program files\stnexp\queries\10796673.1

STRUCTURE UPLOADED L1

=> d l1 L1 HAS NO ANSWERS STR L1

<8/12/2004>

10796673.1 Page 3



G1 N,CH G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 10:05:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1790 TO ITERATE

100.0% PROCESSED 1790 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 155.42 155.63

STN INTERNATIONAL LOGOFF AT 10:05:26 ON 12 AUG 2004

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Welcome to STN International! Enter x:x
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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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                Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
                EXTEND option available in structure searching
NEWS
     3 May 12
                Polymer links for the POLYLINK command completed in REGISTRY
        May 12
NEWS
        May 27
                New UPM (Update Code Maximum) field for more efficient patent
NEWS
                SDIs in CAplus
NEWS
     6
        May 27
                CAplus super roles and document types searchable in REGISTRY
                Additional enzyme-catalyzed reactions added to CASREACT
        Jun 28
NEWS
     7
                ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
        Jun 28
NEWS
                and WATER from CSA now available on STN(R)
        Jul 12
                BEILSTEIN enhanced with new display and select options,
NEWS 9
                resulting in a closer connection to BABS
                BEILSTEIN on STN workshop to be held August 24 in conjunction
NEWS 10 Jul 30
                with the 228th ACS National Meeting
NEWS 11 AUG 02
                IFIPAT/IFIUDB/IFICDB reloaded with new search and display
                fields
                CAplus and CA patent records enhanced with European and Japan
NEWS 12 AUG 02
                Patent Office Classifications
                STN User Update to be held August 22 in conjunction with the
NEWS 13 AUG 02
                228th ACS National Meeting
                The Analysis Edition of STN Express with Discover!
NEWS 14 AUG 02
                 (Version 7.01 for Windows) now available
NEWS 15 AUG 04
                Pricing for the Save Answers for SciFinder Wizard within
                STN Express with Discover! will change September 1, 2004
NEWS EXPRESS
             JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
             STN Operating Hours Plus Help Desk Availability
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             CAS World Wide Web Site (general information)
NEWS WWW
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FILE 'HOME' ENTERED AT 10:07:08 ON 12 AUG 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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Uploading c:\program files\stnexp\queries\10796673.2

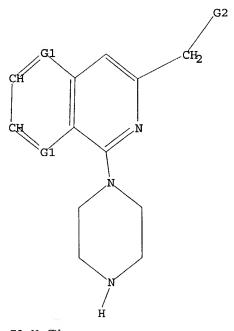
L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

10796673.2 Page 3



G1 N,CH G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full FULL SEARCH INITIATED 10:07:42 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 3390 TO ITERATE

0 SEA SSS FUL L1

100.0% PROCESSED 3390 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

=> log y

L2

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 155.42 155.63

STN INTERNATIONAL LOGOFF AT 10:07:47 ON 12 AUG 2004

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Welcome to STN International! Enter x:x
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Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
                 EXTEND option available in structure searching
NEWS
     3 May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
NEWS
        May 12
                 New UPM (Update Code Maximum) field for more efficient patent
NEWS
        May 27
                 SDIs in CAplus
                 CAplus super roles and document types searchable in REGISTRY
NEWS
        May 27
                 Additional enzyme-catalyzed reactions added to CASREACT
NEWS
         Jun 28
                 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
NEWS
         Jun 28
                 and WATER from CSA now available on STN(R)
                 BEILSTEIN enhanced with new display and select options,
NEWS
         Jul 12
                 resulting in a closer connection to BABS
                 BEILSTEIN on STN workshop to be held August 24 in conjunction
NEWS 10
         Jul 30
                 with the 228th ACS National Meeting
                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
         AUG 02
NEWS 11
                 fields
                 CAplus and CA patent records enhanced with European and Japan
NEWS 12
        AUG 02
                 Patent Office Classifications
                 STN User Update to be held August 22 in conjunction with the
         AUG 02
NEWS 13
                 228th ACS National Meeting
                 The Analysis Edition of STN Express with Discover!
         AUG 02
NEWS 14
                 (Version 7.01 for Windows) now available
                 Pricing for the Save Answers for SciFinder Wizard within
        AUG 04
NEWS 15
                 STN Express with Discover! will change September 1, 2004
              JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS
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NEWS INTER
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NEWS LOGIN
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NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
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=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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Uploading c:\program files\stnexp\queries\10796673.3

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

<8/12/2004>

$$\begin{bmatrix} G1 & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & &$$

G1 N, CH G2 Cb, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full FULL SEARCH INITIATED 10:11:11 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 19524 TO ITERATE

19524 ITERATIONS 100.0% PROCESSED

5 ANSWERS

SEARCH TIME: 00.00.01

5 SEA SSS FUL L1 L2

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY 155.42 SESSION 155.63

FULL ESTIMATED COST

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<8/12/2004>

CN

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FILE COVERS 1907 - 12 Aug 2004 VOL 141 ISS 7
FILE LAST UPDATED: 11 Aug 2004 (20040811/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 12
L3
             2 L2
=> d 13 fbib hitstr abs total
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
L3
     2003:551515 CAPLUS
ΑN
DN
     139:117410
     1,6-Naphthyridines useful as inhibitors of SYK kinase, and their
ТT
     preparation, pharmaceutical compositions, and use in the treatment of
     allergic and inflammatory conditions
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IN
     Jarlais, Renee L.; Player, Mark; Rinker, James; Winters, Michael; Zhao,
     Bao-Ping
     Boehringer Ingelheim Pharmaceuticals, Inc., USA
PA
     PCT Int. Appl., 86 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
                                            -----
                         _ _ _ _
                                _____
                                20030717
                                            WO 2002-US38375
                                                                   20021203
PΙ
     WO 2003057695
                         A1
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
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                                                                   20030415
                          Α1
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os
     562106-42-7P, 5-(Piperazin-1-yl)-7-[4-(dimethylamino)phenyl]-
     [1,6] naphthyridine
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (drug candidate; preparation of naphthyridines as inhibitors of SYK kinase
        for treatment of allergic and inflammatory conditions)
RN
     562106-42-7 CAPLUS
```

Benzenamine, N,N-dimethyl-4-[5-(1-piperazinyl)-1,6-naphthyridin-7-yl]-

Patel <8/12/2004>

(CA INDEX NAME)

GI

$$R^{3}$$
 R^{7}
 R^{8}
 R^{7}
 R^{8}
 R^{7}
 R^{8}
 R^{7}
 R^{8}
 R^{7}
 R^{8}
 R^{7}
 R^{8}
 R^{7}

Compds. of formula I are disclosed [wherein: R3 = H, C1-3 alkyl, halo, or AB Ph; R5 = NR9R10 or OR11; R9 = H or C1-3 alkyl; R10 = (un)substituted aminoalkyl or hydroxyalkyl where the alkyl may be substituted or mono-heteroatom-replaced; or NR9R10 = (un) substituted heterocycloalkyl; R11 = (un)substituted aminoalkyl, alkoxyalkyl, or hydroxyalkyl where the alkyl may be substituted or mono-heteroatom-replaced; or R11 = cycloalkyl, heterocycloalkyl, heteroaryl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkyl, or heteroarylalkyl; R7 = (un)substituted Ph, naphthyl, furyl, thienyl, pyridyl, indolyl, benzothiazolyl or pyrrolyl; R8 = H, halo, or cyano; with the proviso that R3 ≠ H and R8 ≠ H when R7 = methoxy-substituted Ph and R5 = 4-ethylpiperazin-1-yl; or a pharmaceutically acceptable salt]. I are useful as inhibitors of SYK kinase, and are thus useful for treating diseases resulting from inappropriate mast cell activation. Such diseases would include allergic and inflammatory diseases. Also disclosed are pharmaceutical compns. comprising compds. I, and processes for preparing I. Synthetic examples (28) cover both invention compds. and intermediates, and approx. 100 individual compds. I are claimed per se. For instance, 2-methylnicotinic acid was lithiated with 2.5 equiv LDA and cyclocondensed with 4-(Me2N)C6H4CN to qive 7-(4-dimethylaminophenyl)-[1,6]naphthyridin-5-ol. This 5-hydroxy compound was treated with POCl3 to give the 5-chloro analog, which reacted with 1,3-diaminopropane to give invention compound II. In an assay for inhibition of SYK kinase activity as measured using DELFIA, all disclosed compds. I had IC50 values below 30 µM, and preferred compds. had values below 1 μ M.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN AN 1999:244638 CAPLUS

T3.3.T	-	~ ~		\sim	-	-	^	4	-
DN	- 1	3.0	: (-3	1	1	୪	1	

TI Preparation of piperazinylisoquinolines and analogs as serotonin antagonists

Ueno, Kohshi; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Kitazawa, Noritaka; Takahashi, Keiko; Yamamoto, Noboru; Suzuki, Yuichi; Matsunaga, Manabu; Kubota, Atsuhiko

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 740 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

FAN.CNT 1 PATENT NO.						KIND		DATE		APPLICATION NO.						DATE			
ΡI	WO	99180 W:)77 US			A1	-	19990415			WO 1998-JP4465						19981002		
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											WO	1997- 1998- 2000-	-JP44	65		A W A3	19981	.002	

OS MARPAT 130:311813

IT 223553-55-7P 223554-49-2P 223554-62-9P

223554-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperazinylisoquinolines and analogs as serotonin antagonists)

RN 223553-55-7 CAPLUS

CN Isoquinoline, 3-(4-methoxyphenyl)-1-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 223554-49-2 CAPLUS

CN Isoquinoline, 3-[4-(methylsulfonyl)phenyl]-1-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 223554-62-9 CAPLUS

CN Benzenesulfonamide, N-ethyl-4-[1-(1-piperazinyl)-3-isoquinolinyl]- (9CI) (CA INDEX NAME)

RN 223554-64-1 CAPLUS

CN Isoquinoline, 1-(1-piperazinyl)-3-[4-(propylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$R^3$$
(CH₂) n^{-B}
 R^2

The title compds. I [ring A = benzene, pyridine, thiophene or furan ring; B = (un)substituted aryl, etc.; R1 = H, halo, etc.; R2 = 4-morpholinyl, etc.; R3 = H, halo, etc.; n = 0, or 1 - 6] are prepared I are central muscle relaxing drugs for treating, ameliorating or preventing spastic paralysis or ameliorating myotonia. In an in vitro test for 5HT1 receptor antagonism, the title compound II showed the Ki value of 21.2 nM.

II

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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